

Efficacy of Block Copolymers to Disaggregate and Encapsulate Porphyrins Monitored by NMR Spectroscopy

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Since porphyrin compounds exhibit intrinsic phototoxicity, tumor accumulation and low dark toxicity, they play an important role as photosensitizers in photodynamic therapy (PDT). However, porphyrinic photosensitizers have the tendency to aggregate in aqueous solutions thereby potentially decreasing the PDT efficiency. Porphyrin-polymer systems represent an elegant way to cope with this problem. Polymer-carrier systems such as Photolon[®], which is a polyvinylpyrrolidone (PVP) - chlorin e6 (Ce6) complex, have already gained approval for medical application in PDT. [1, 2]

Previously, we have reported and characterized by NMR spectroscopy a series of naturally derived porphyrin and chlorin e6 derivatives with a focus on their propensity to form aggregates as well as their interactions with membrane models. [3, 4]

The aim of the present study is to investigate the efficacy of several block copolymer systems to disaggregate the porphyrinic model compounds to determine their loading capacity in biological media using NMR spectroscopy as the main technique. The results point out that the selected block copolymers are capable to monomerize amino acid conjugates of chlorin e6. However, the results also suggest the existence of different binding motives and different equilibria between the porphyrinic photosensitizer and the block copolymer carrier molecules. These differences may have a considerable impact on the pharmacokinetic properties of the corresponding delivery systems.

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